10

10

15

20

25

30

## Claims

- A method for the production of 3-amidino- or 3-guanidinophenylalanine derivatives, comprising one or more of the following measures:
  - (a) use of 3-cyanobenzyl bromide as starting material, which is reacted with an N-protected aminomalonic diester to give an N-protected cyanophenylalanine;
  - (b) workup of the product from the reaction of 3-cyanophenylalanine and an optionally substituted phenylsulfonyl halide, in particular 2,4,6-triisopropylphenylsulfonyl (TIPPS) halide, in an aqueous medium;
  - (c) reaction of a N-protected 3-cyanophenylalanine with a piperazine derivative to form an N-protected 3-cyanophenylalanine piperazide and subsequent reaction thereof with an optionally substituted phenylsulfonyl halide, in particular a TIPPS halide;
  - (d) reaction of 3-cyanophenylalanine with a quaternary ammonium hydroxide compound to give a 3-cyanophenylalanine ammonium salt and subsequent reaction thereof with an optionally substituted phenylsulfonyl halide, in particular a TIPPS halide or/and
  - 3-cyanophenylalanine а with of reaction (e) give а trialkylsilane compound to 3-cyanophenylalanine trialkylsilyl ester and an with thereof reaction subsequent optionally substituted phenylsulfonyl halide.
  - 2. The method as claimed in claim 1, characterized in that an N-acyl-protected aminomalonic diester, in particular an acetamidomalonic diester, is used in measure (a).

3. The method as claimed in claim 1 or 2, characterized in that TIPPS-Cl is used in measure (b), (c), (d) or (e).

5

- 4. The method as claimed in any of claims 1 to 3, characterized in that N-ethoxycarbonylpiperazine is used as piperazine derivative in measure (c).
- The method as claimed in any of claims 1 to 4, characterized in that Nα-(2,4,6-triisopropyl-phenylsulfonyl)-3-amidino-(D,L)-phenylalanine 4-ethoxycarbonylpiperazide, Nα-(2,4,6-triisopropylphenylsulfonyl)-3-guanidino-(D,L)-phenyl-
- propylphenylsulronyl)-3-guarders the alanine 4-ethoxycarbonylpiperazide or the L enantiomers thereof are prepared.